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=> d his

     (FILE 'HOME' ENTERED AT 21:14:28 ON 19 OCT 2005)

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L2           0 S L1 SSS
L3          49 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 21:15:25 ON 19 OCT 2005
L4           4 S L3

=> d l1
L1 HAS NO ANSWERS
L1             STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> d bib abs hitstr 1-4

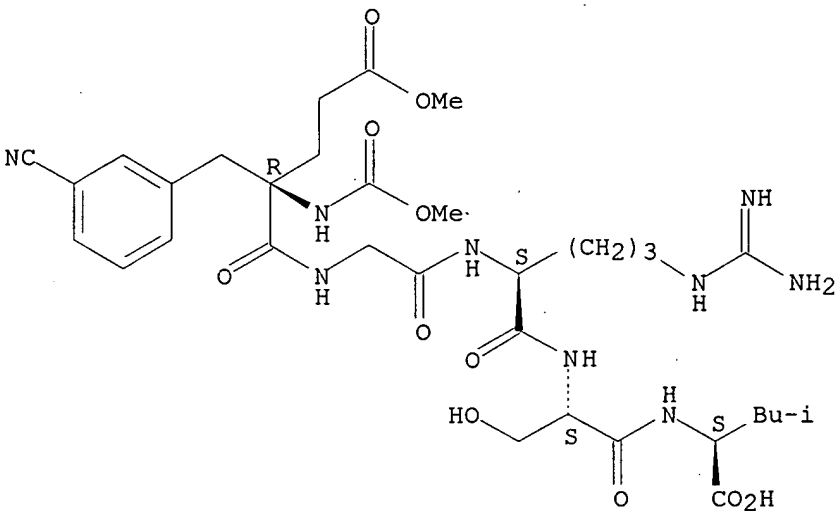
L4   ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2004:3450  CAPLUS
DN   140:99617
TI   Peptide conjugates with drugs as prodrugs for activation by tissue or
     cell-specific proteinases
IN   Madison, Edwin L.; Semple, Joseph Edward; Vlasuk, George P.; Kemp, Scott
     Jeffrey; Komandla, Mallareddy; Siev, Daniel Vanna
PA   Corvas International, Inc., USA
SO   U.S. Pat. Appl. Publ., 359 pp.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
PI   US 2004001801        A1    20040101    US 2002-156214          20020523
PRAI US 2002-156214             20020523
OS   MARPAT 140:99617
AB   Conjugates of peptides with drugs that are substrates of a tissue-specific
     proteinases that can be used to treat diseases associated with abnormal
     levels of the enzyme.  The enzyme may be transmembrane serine proteinase,
     a urokinase, or an endotheliase.  The conjugates are to be substrates for
     proteinases that may be cell- or tissue-specific.  The drug moiety of the
     conjugate may be cytotoxic.  The drug may be bound to the peptide by a
     labile linker that will eliminate itself after the preliminary hydrolysis.
IT   476681-34-2D, drug conjugates 476681-35-3D, drug
     conjugates 476681-36-4D, drug conjugates 476681-37-5D,
     drug conjugates 476681-38-6D, drug conjugates
     476681-39-7D, drug conjugates 642482-56-2D, drug
     conjugates 642482-58-4D, drug conjugates 642482-60-8D,
     drug conjugates 642482-61-9D, drug conjugates
     642483-00-9D, drug conjugates 642483-01-0D, drug
     conjugates 642483-02-1D, drug conjugates 642483-03-2D,
     drug conjugates 642483-54-3D, drug conjugates
     642483-55-4D, drug conjugates 642483-56-5D, drug
     conjugates 642483-57-6D, drug conjugates 642485-37-8D,
     drug conjugates 642485-38-9D, drug conjugates
     RL: BSU (Biological study, unclassified); PRP (Properties); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
```

(amino acid sequence, as prodrug; peptide conjugates with drugs as prodrugs for activation by tissue or cell-specific proteinases)

RN 476681-34-2 CAPLUS

CN L-Leucine, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

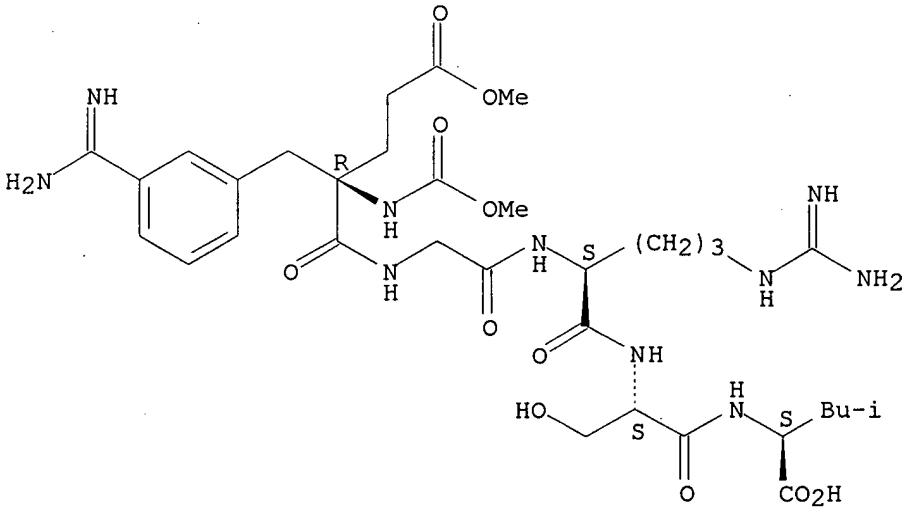
Absolute stereochemistry.



RN 476681-35-3 CAPLUS

CN L-Leucine, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

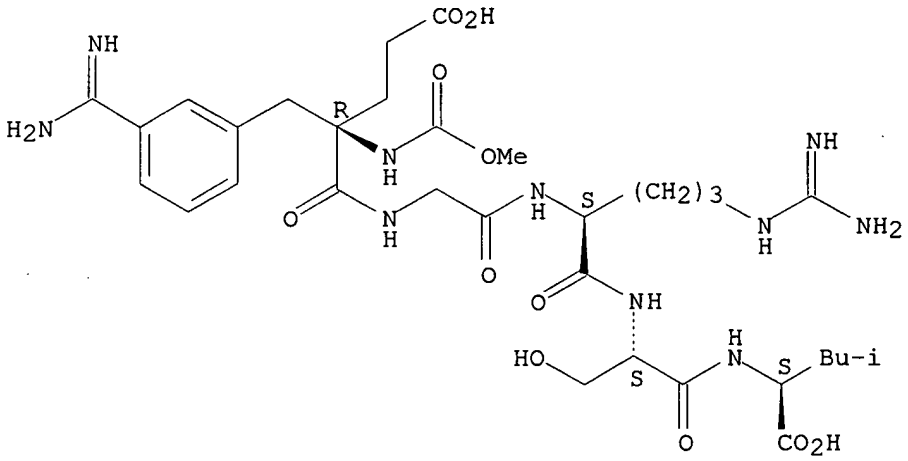
Absolute stereochemistry.



RN 476681-36-4 CAPLUS

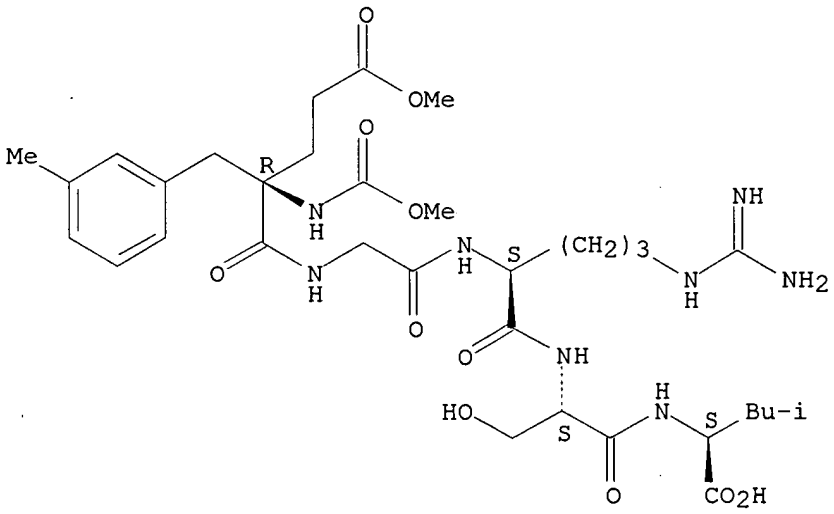
CN L-Leucine, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



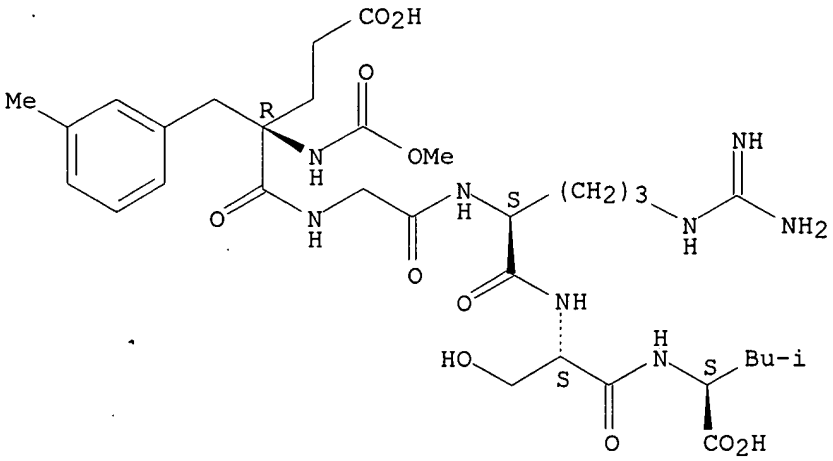
RN 476681-37-5 CAPLUS
CN L-Leucine, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



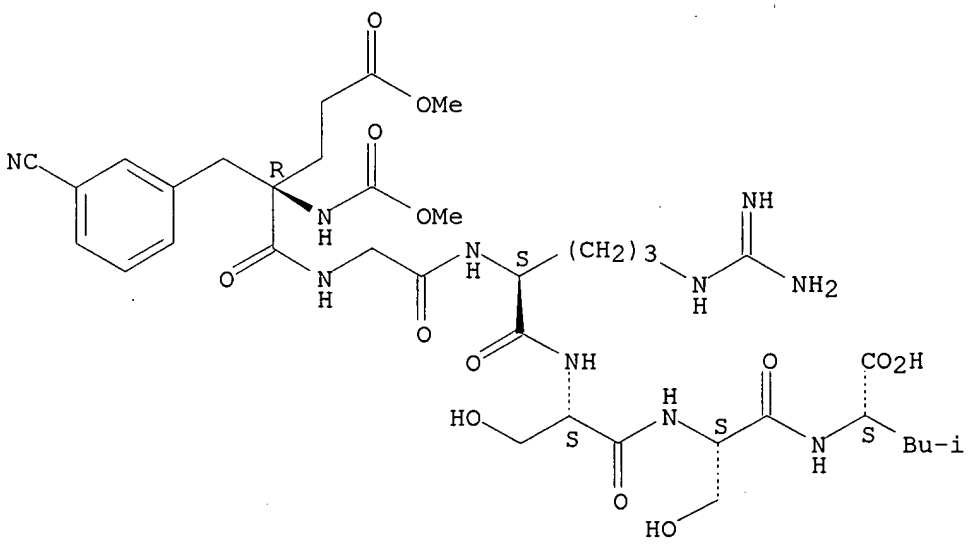
RN 476681-38-6 CAPLUS
CN L-Leucine, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



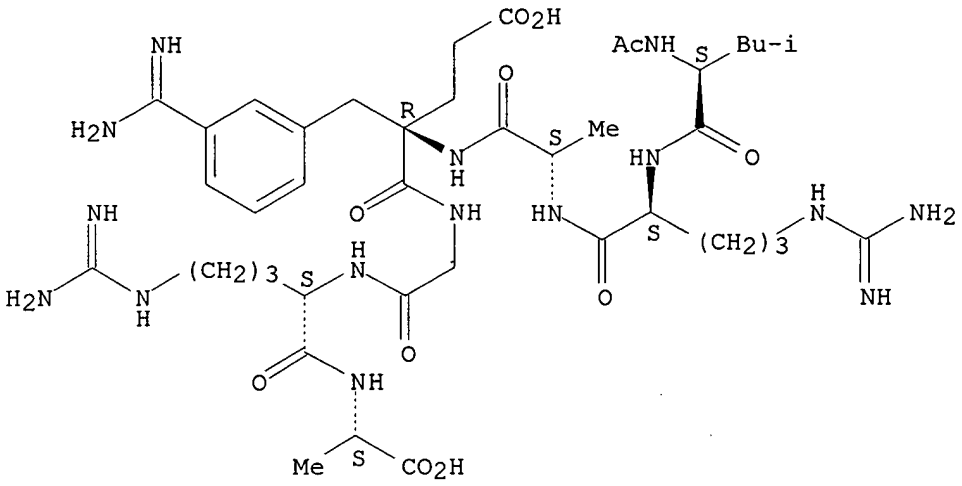
RN 476681-39-7 CAPLUS
CN L-Leucine, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



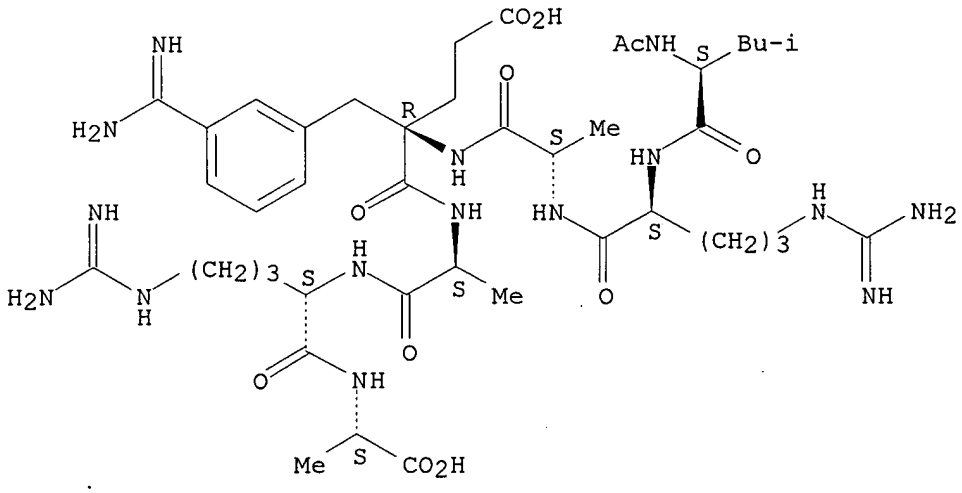
RN 642482-56-2 CAPLUS
CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 642482-58-4 CAPLUS
CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

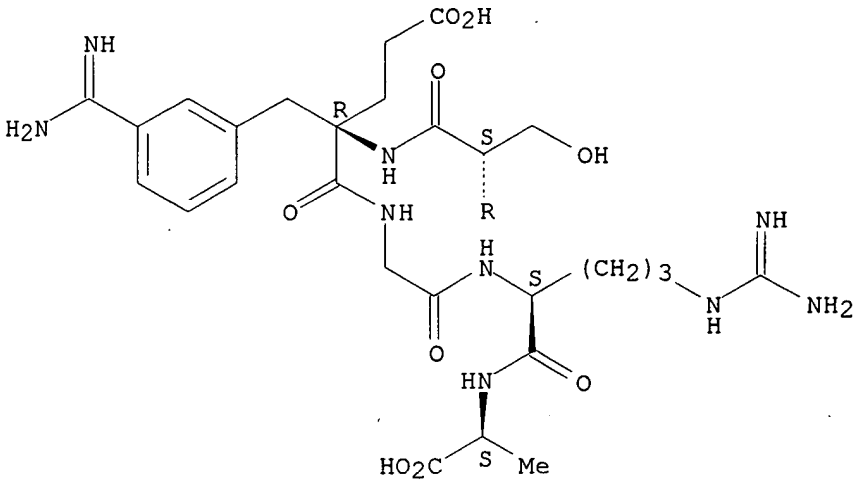
Absolute stereochemistry.



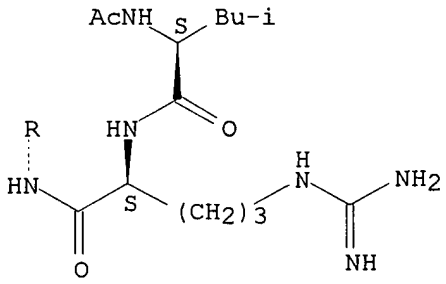
RN 642482-60-8 CAPLUS
CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

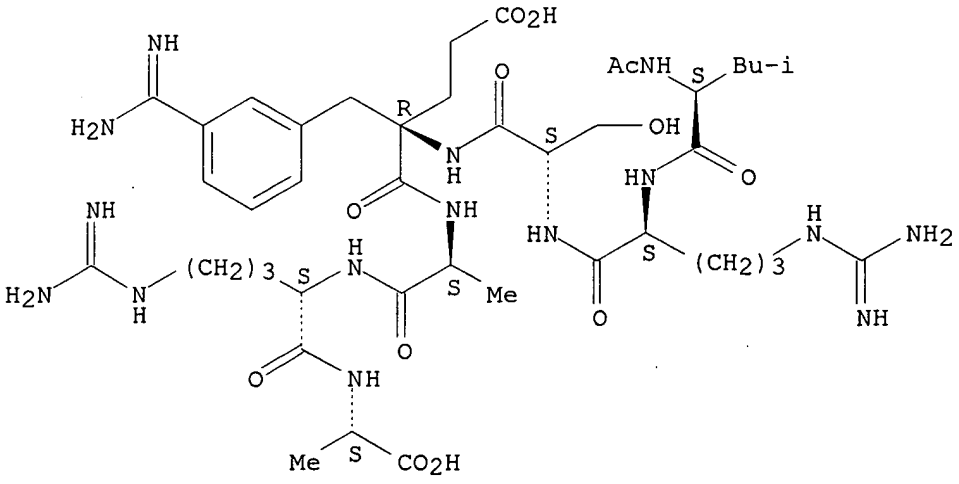


PAGE 2-A



RN 642482-61-9 CAPLUS
CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

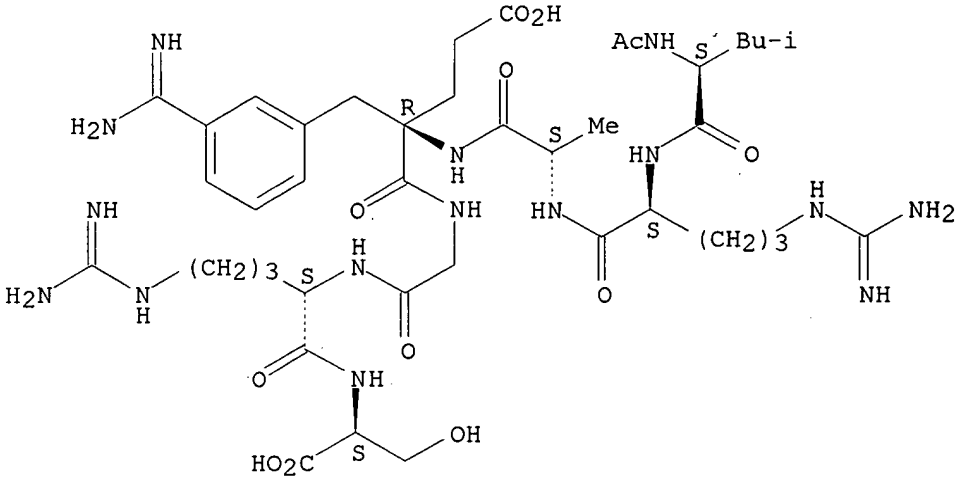
Absolute stereochemistry.



RN 642483-00-9 CAPLUS

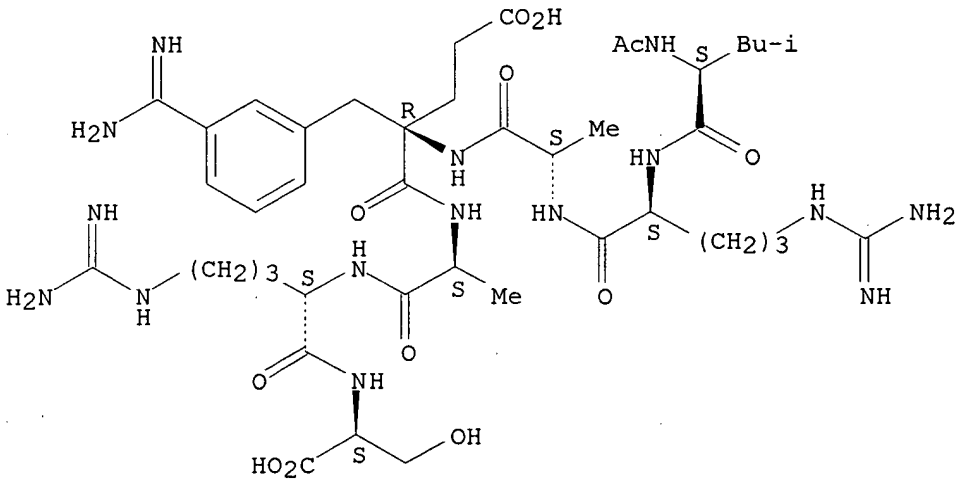
CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 642483-01-0 CAPLUS
CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

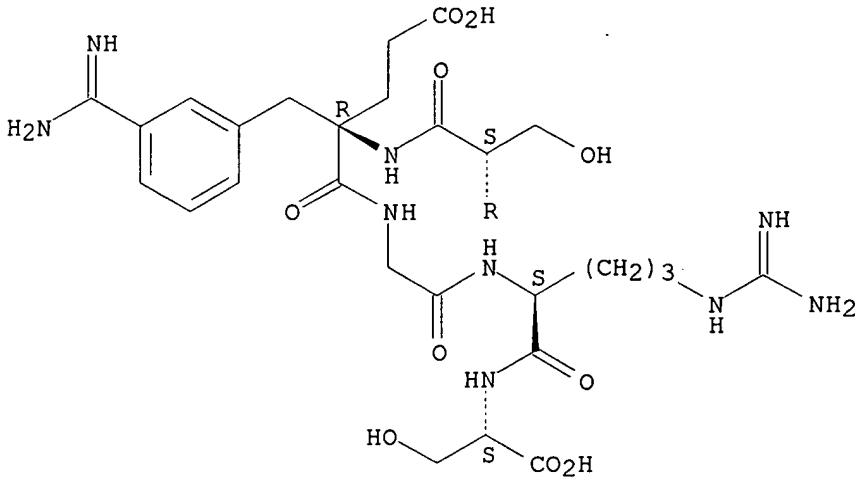
Absolute stereochemistry.



RN 642483-02-1 CAPLUS
CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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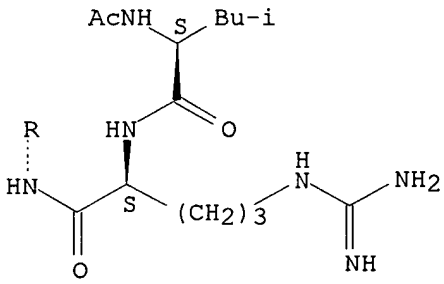
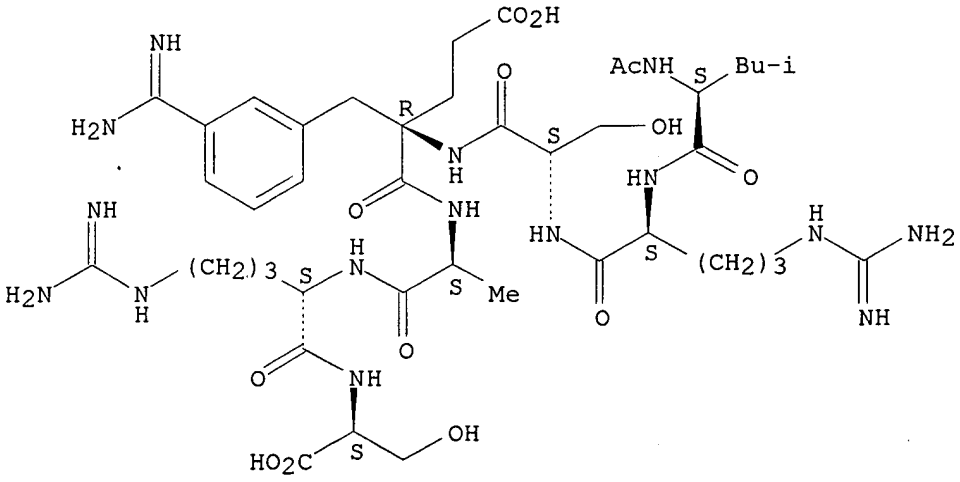


RN 642483-03-2 CAPLUS
CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl- (9CI) (CA INDEX NAME)

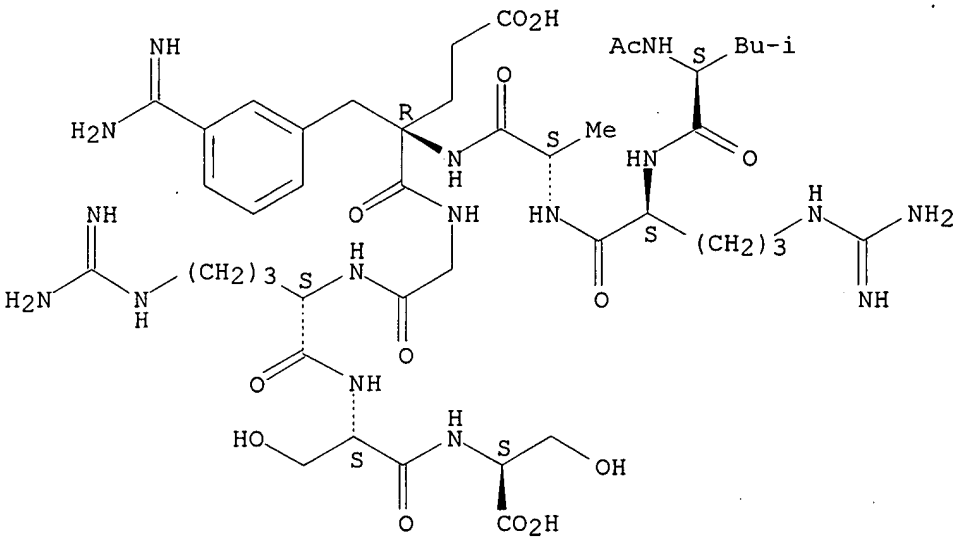
Absolute stereochemistry.



RN 642483-54-3 CAPLUS

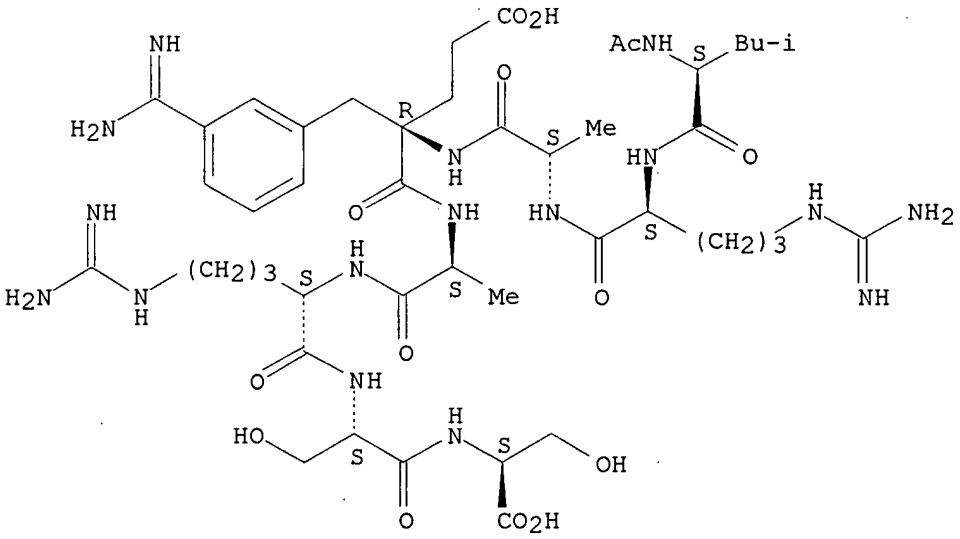
CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



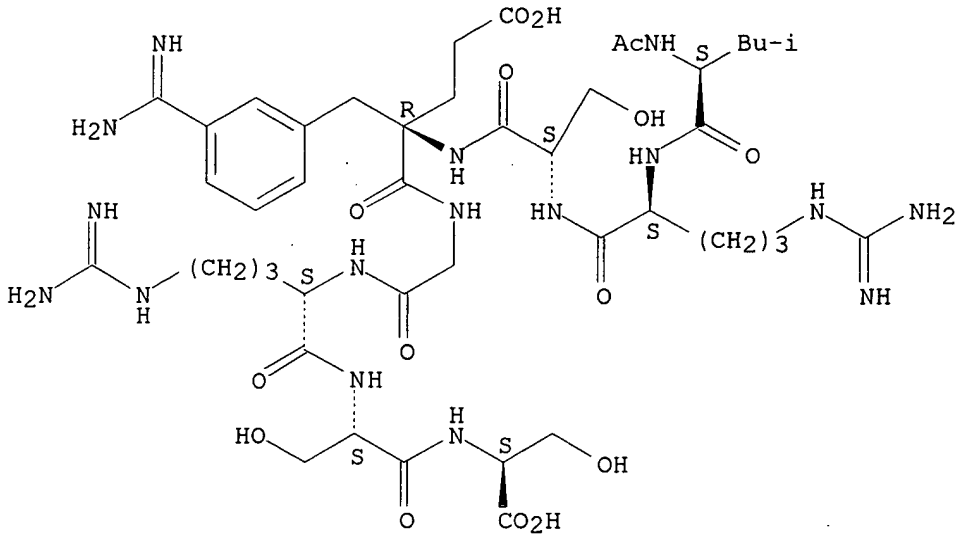
RN 642483-55-4 CAPLUS
CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



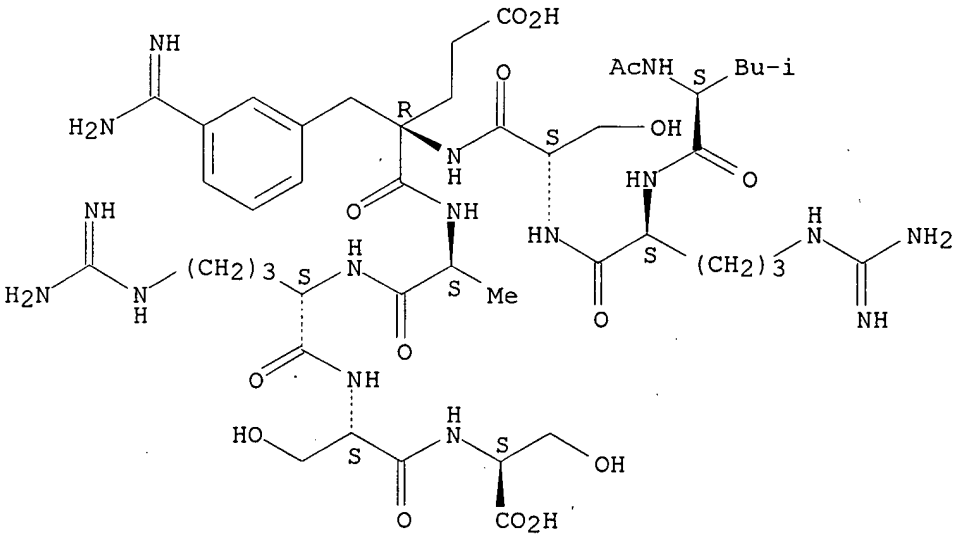
RN 642483-56-5 CAPLUS
CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



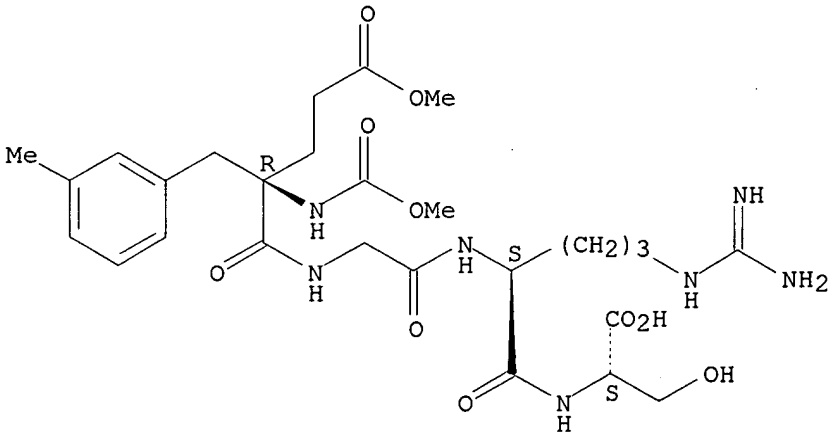
RN 642483-57-6 CAPLUS
CN L-Serine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



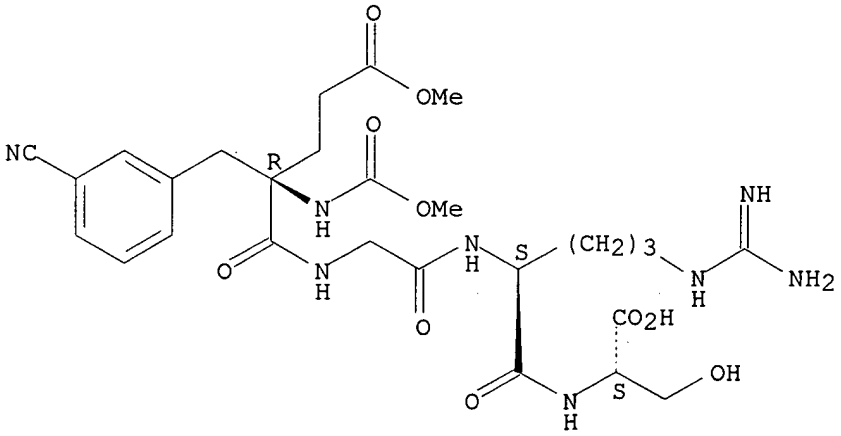
RN 642485-37-8 CAPLUS
CN L-Serine, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamylglycyl-L-arginyl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 642485-38-9 CAPLUS
CN L-Serine, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:203392 CAPLUS
DN 138:188079
TI Preparation of peptides as inhibitors of serine protease activity of matriptase or MTSP1
IN Semple, Joseph E.; Coombs, Gary S.; Reiner, John E.; Ong, Edgar O.; Araldi, Gian Luca
PA USA
SO U.S. Pat. Appl. Publ., 34 pp., Cont.-in-part of Appl. No. PCT/US01/28137.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003050251	A1	20030313	US 2002-92004	20020305
	US 6797504	B1	20040928	US 2000-657986	20000908
	WO 2002020475	A2	20020314	WO 2001-US28137	20010907
	WO 2002020475	A3	20030814		

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
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PRAI US 2000-657986 A2 20000908
WO 2001-US28137 A2 20010907

OS MARPAT 138:188079

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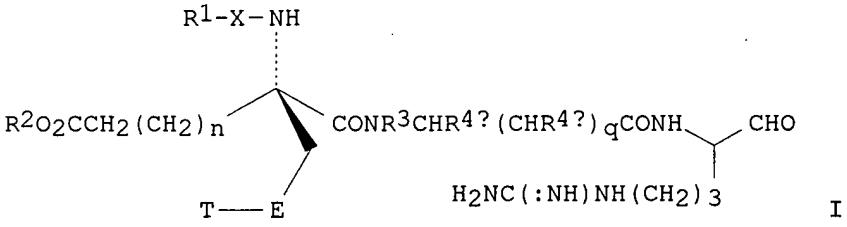


I

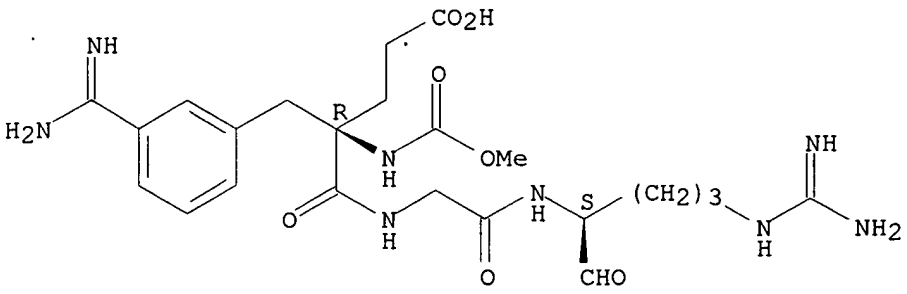
AB The invention provides compds. I [X = CO, CO2, CONH, SO2, SO2NH or a direct link; R1 = (un)substituted alkyl, cycloalkyl, aryl, heterocycloalkyl, H when X is CONH, SO2, SO2NH or a direct link, etc.; R2 = H, alkyl; n = 0-3; R3 = H, Me; R4a, R4b = H, alkyl; q = 0-2; when q = 0, R3 and R4a form prolyl or prolyl derivs., pipecolyl, or azetidine-2-carbonyl groups which are in the S-configuration; E is a 5- or 6-membered aromatic ring having 0-2 ring heteroatoms; T is H, OH, CH2OH, alkyl, cyano, an amidino, guanidino, amino or carbamoyl derivative] which inhibit serine protease activity of matriptase or MTSP1. Also provided are pharmaceutical compns. for treating conditions ameliorated by inhibition of matriptase or MTSP1. Thus, (R)-5-[3-(diaminomethyl)phenyl]-4-[(1-formyl-(S)-4-guanidinobutylcarbamoylmethyl)carbamoyl]-4-(methoxycarbonylamino)pentanoic acid tert-Bu ester was prepared and showed IC50 < 100 nM for inhibition of matriptase activity.

IT **403669-10-3P 403669-11-4P 403669-12-5P**
403669-13-6P 403669-14-7P 403669-15-8P
403669-16-9P 403669-17-0P 403669-18-1P
403669-20-5P 403669-21-6P 403669-22-7P
403669-27-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as inhibitors of serine protease activity of matriptase or MTSP1)

RN 403669-10-3 CAPLUS

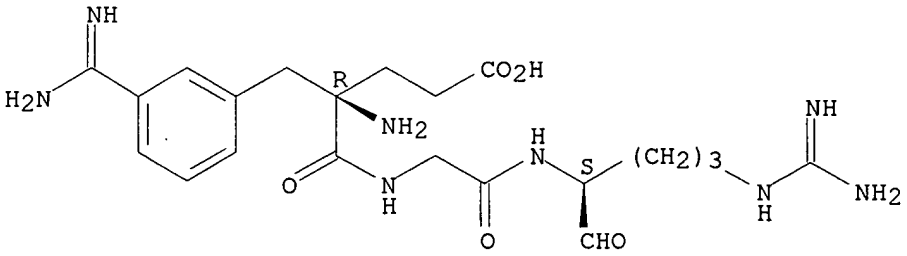
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



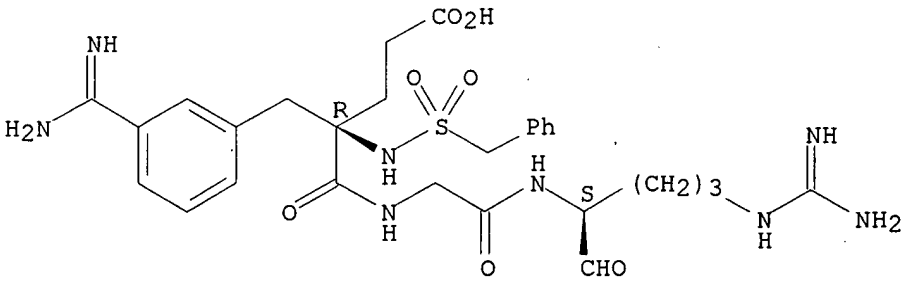
RN 403669-11-4 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



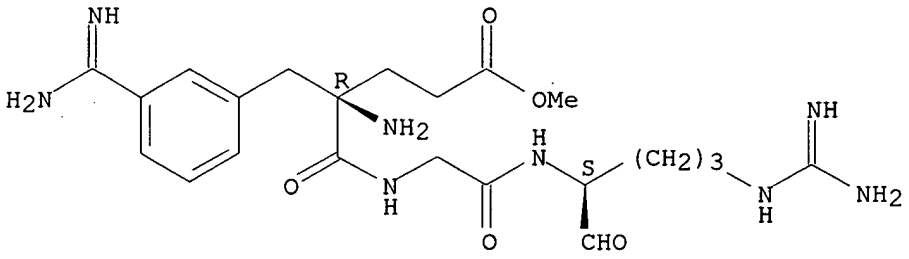
RN 403669-12-5 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(phenylmethyl)sulfonyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



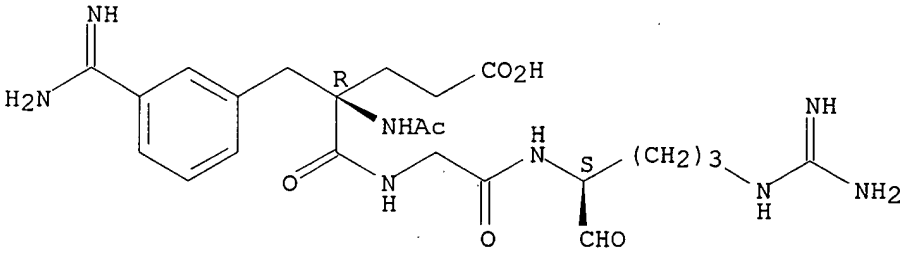
RN 403669-13-6 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



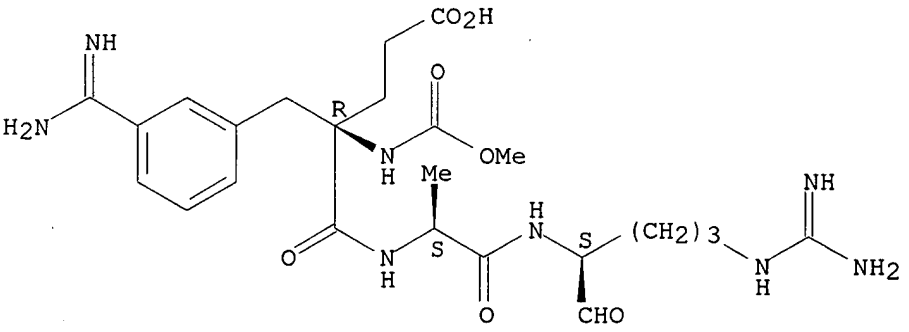
RN 403669-14-7 CAPLUS
CN Glycinamide, N-acetyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



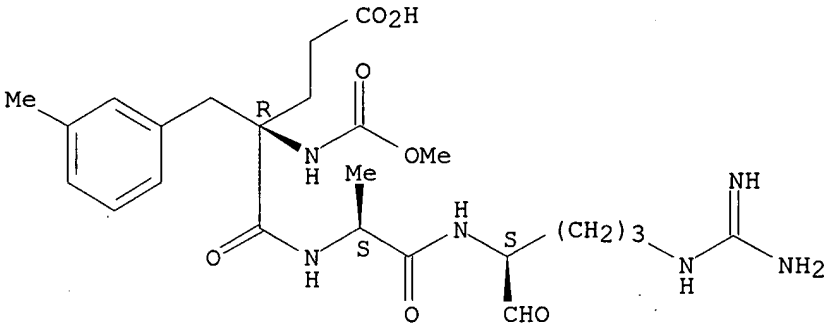
RN 403669-15-8 CAPLUS
CN L-Alaninamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



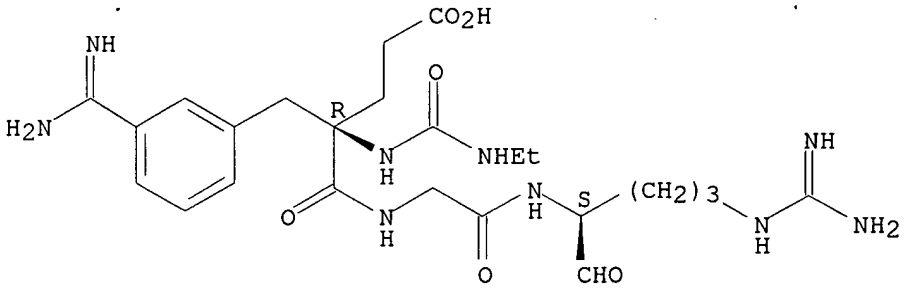
RN 403669-16-9 CAPLUS
CN L-Alaninamide, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



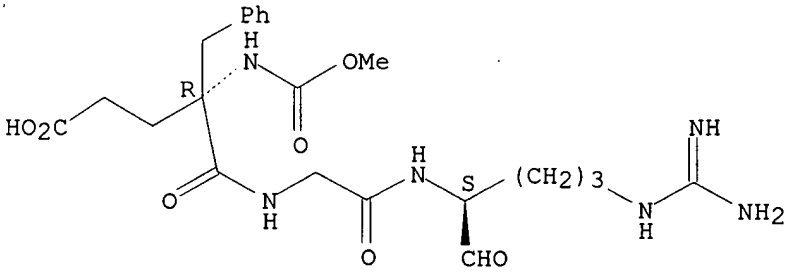
RN 403669-17-0 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(ethylamino)carbonyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



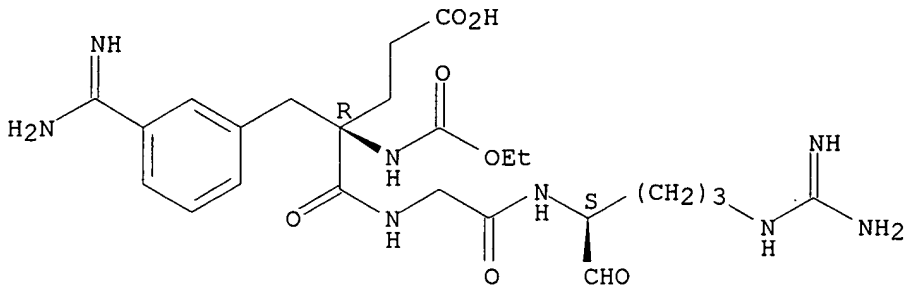
RN 403669-18-1 CAPLUS
CN Glycinamide, N-(methoxycarbonyl)-2-(phenylmethyl)-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



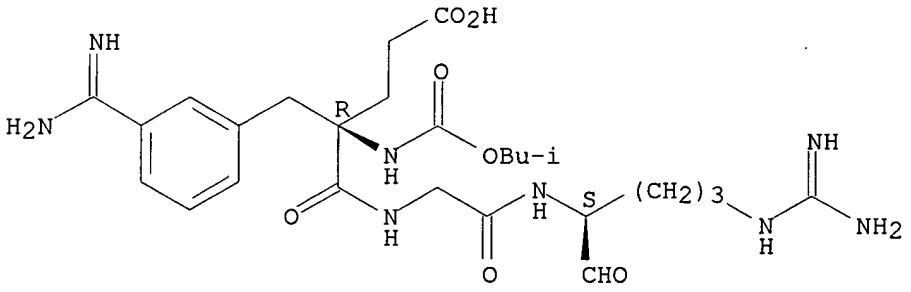
RN 403669-20-5 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(ethoxycarbonyl)-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



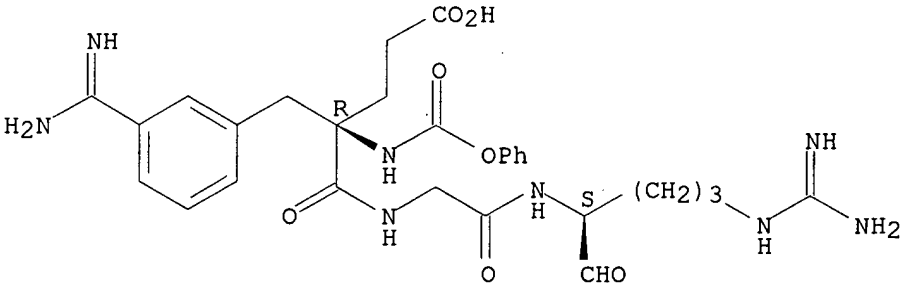
RN 403669-21-6 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(2-methylpropoxy)carbonyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



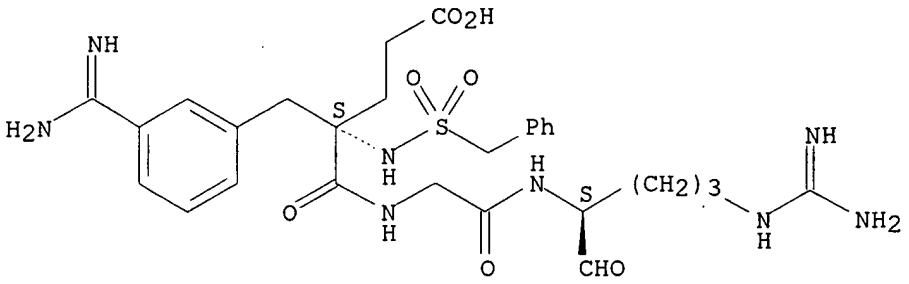
RN 403669-22-7 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(phenoxycarbonyl)-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 403669-27-2 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(phenylmethyl)sulfonyl]-D-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:906473 CAPLUS
DN 138:16587
TI Conjugates activated by cell surface proteases and therapeutic uses thereof
IN Madison, Edwin L.; Semple, Joseph Edward; Vlasuk, George P.; Kemp, Scott Jeffrey; Komandla, Mallareddy; Siev, Daniel Vanna
PA Corvas International, Inc., USA; Dendreon San Diego, LLC
SO PCT Int. Appl., 581 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002095007	A2	20021128	WO 2002-US16819	20020523
	WO 2002095007	A3	20050506		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	JP 2005518332	T2	20050623	JP 2002-592470	20020523
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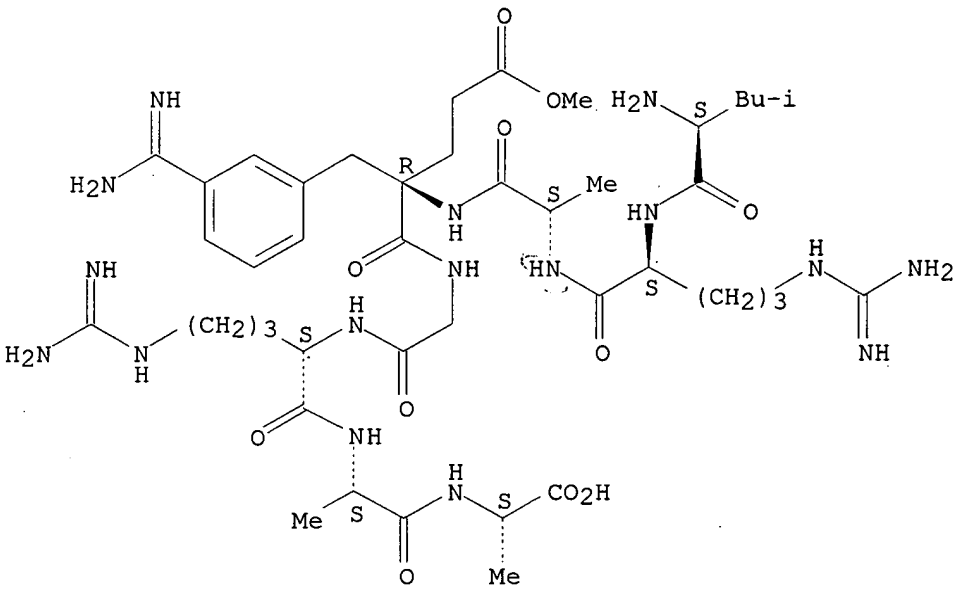
PRAI	US 2001-293267P	P	20010523		
	WO 2002-US16819	W	20020523		

OS MARPAT 138:16587
AB Conjugates, compns. and method for treatment, prevention, or amelioration of one or more symptoms of cell surface protease-related diseases, including MTSP-related, urokinase-type plasminogen activator (uPA) or endotheliase-related diseases, are provided. The conjugates for use in the compns. and methods are peptidic conjugates that contain therapeutic, including cytotoxic, agents.
IT **476677-79-9D**, drug conjugates **476677-80-2D**, drug conjugates **476677-81-3D**, drug conjugates **476677-82-4D**, drug conjugates **476677-95-9D**, drug conjugates **476678-29-2D**, drug conjugates **476678-31-6D**, drug conjugates **476678-32-7D**, drug conjugates **476678-33-8D**, drug conjugates **476678-92-9D**, drug conjugates **476678-93-0D**, drug conjugates **476678-94-1D**, drug conjugates **476678-95-2D**, drug conjugates **476681-34-2** **476681-34-2D**, drug conjugates **476681-35-3**

476681-36-4 476681-37-5 476681-37-5D, drug conjugates **476681-38-6 476681-39-7 476682-33-4 476682-34-5 476682-35-6**
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug conjugates activated by cell surface proteases for drug delivery)

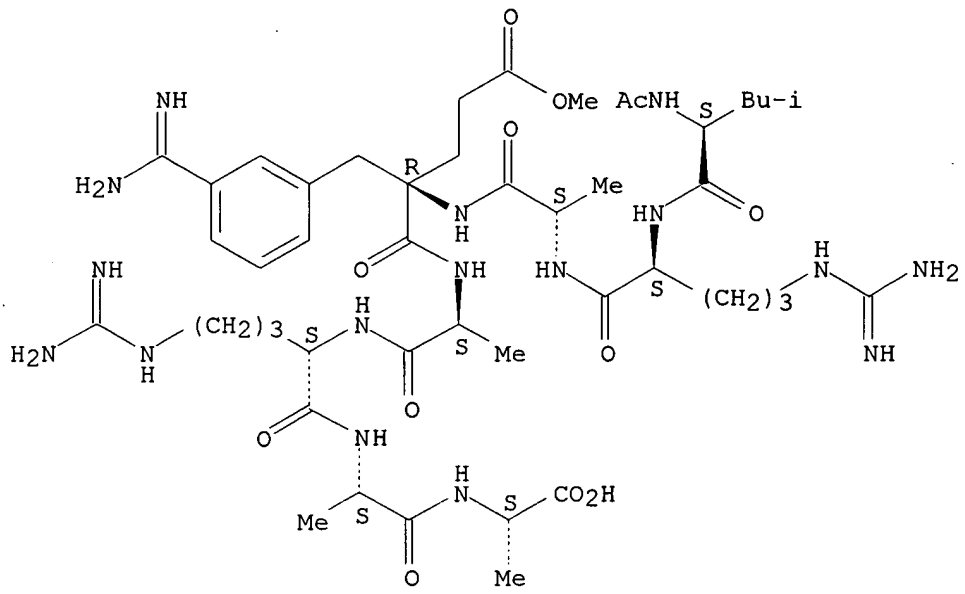
RN 476677-79-9 CAPLUS
CN L-Alanine, L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl-L-alanyl-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



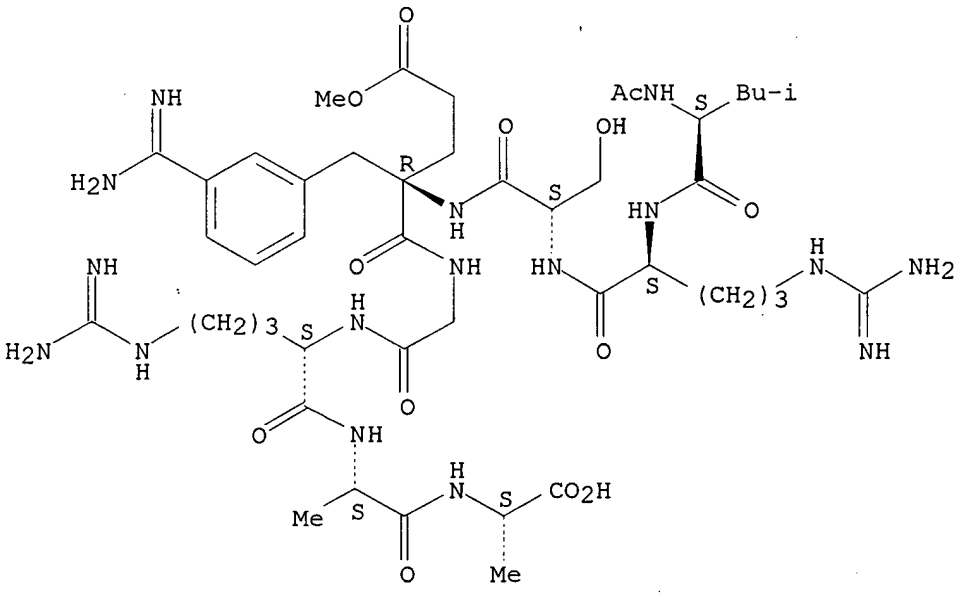
RN 476677-80-2 CAPLUS
CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl-L-alanyl-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



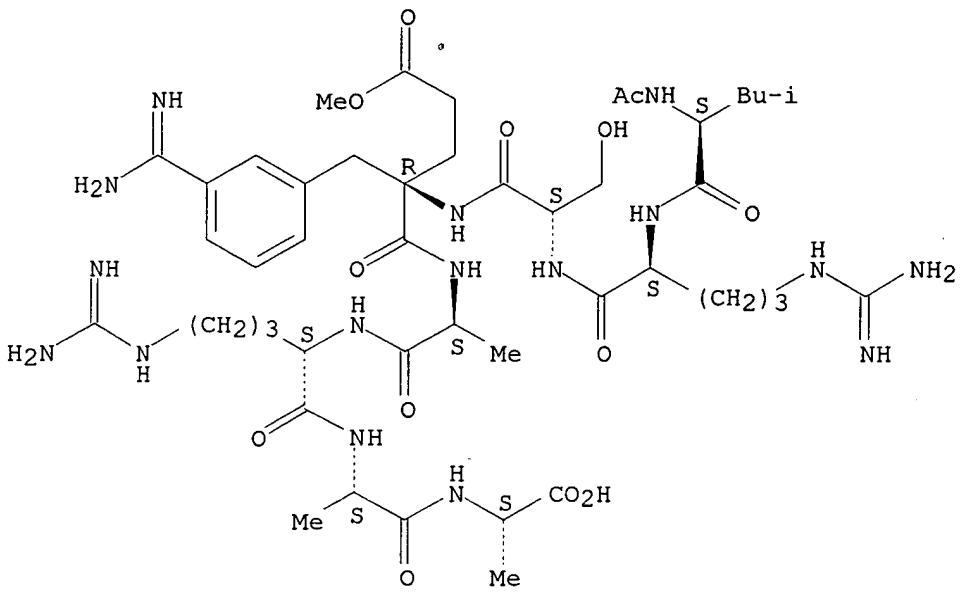
RN 476677-81-3 CAPLUS
CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl-L-alanyl-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



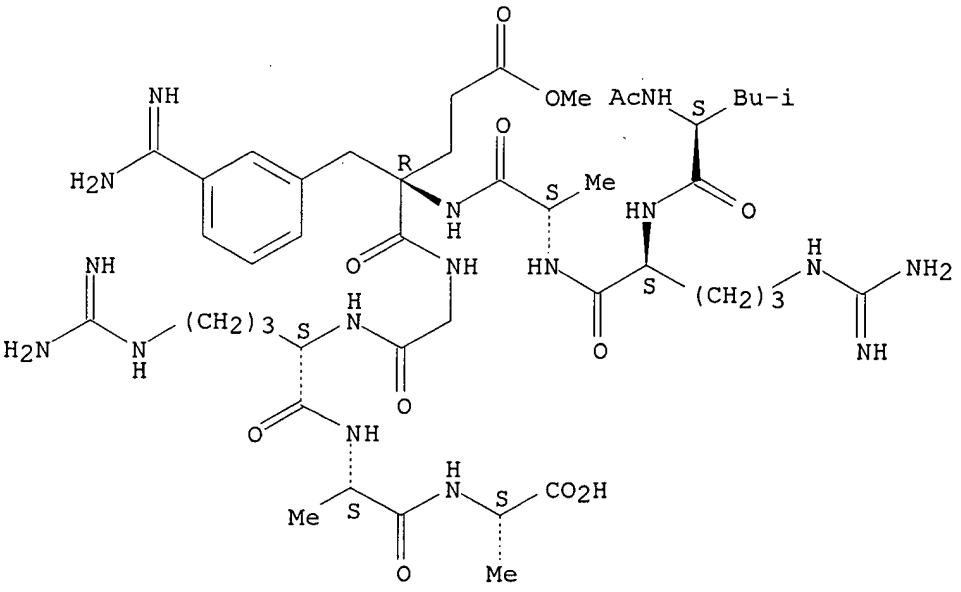
RN 476677-82-4 CAPLUS
CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl-L-alanyl-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



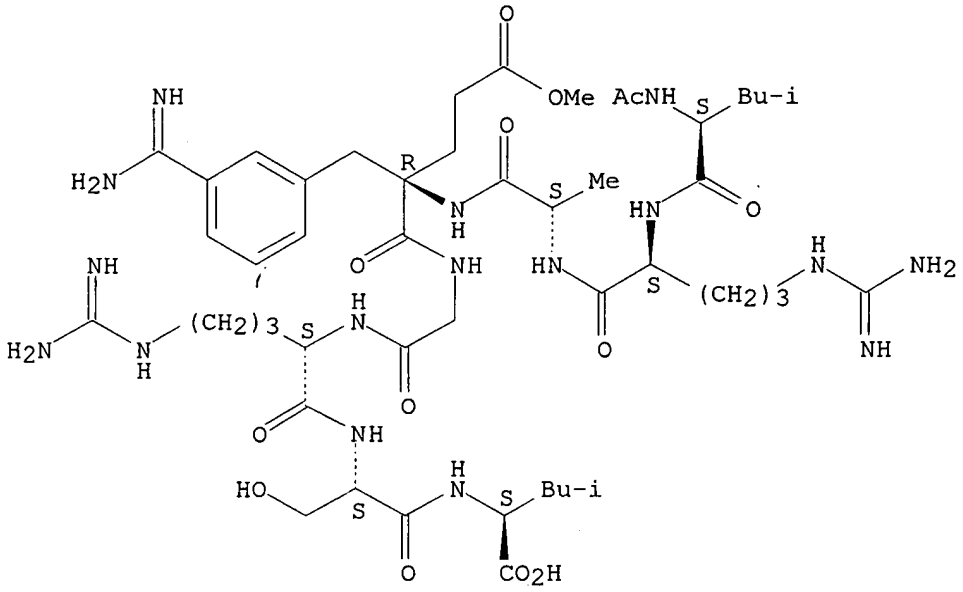
RN 476677-95-9 CAPLUS
CN L-Alanine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl-L-alanyl-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



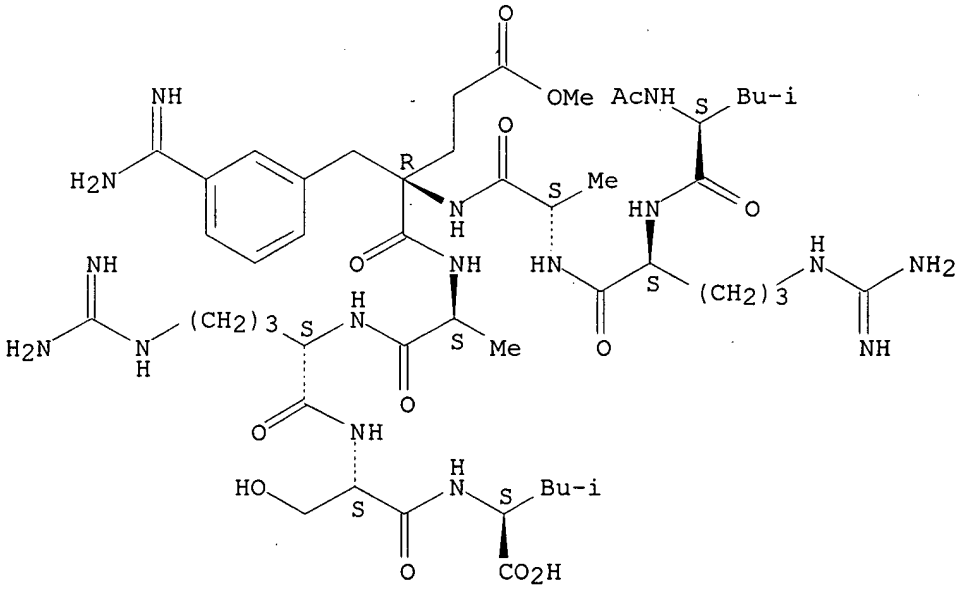
RN 476678-29-2 CAPLUS
CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



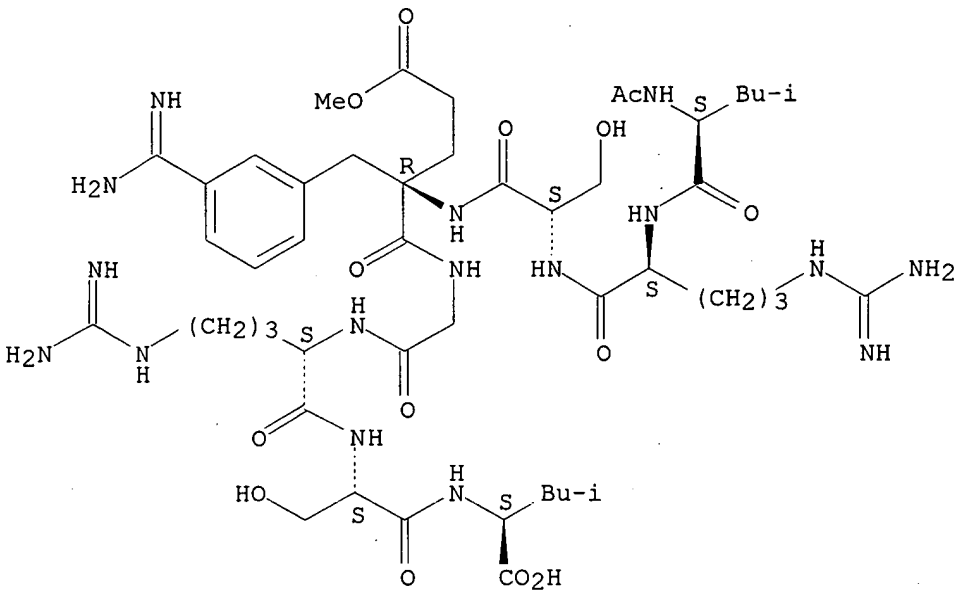
RN 476678-31-6 CAPLUS
CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



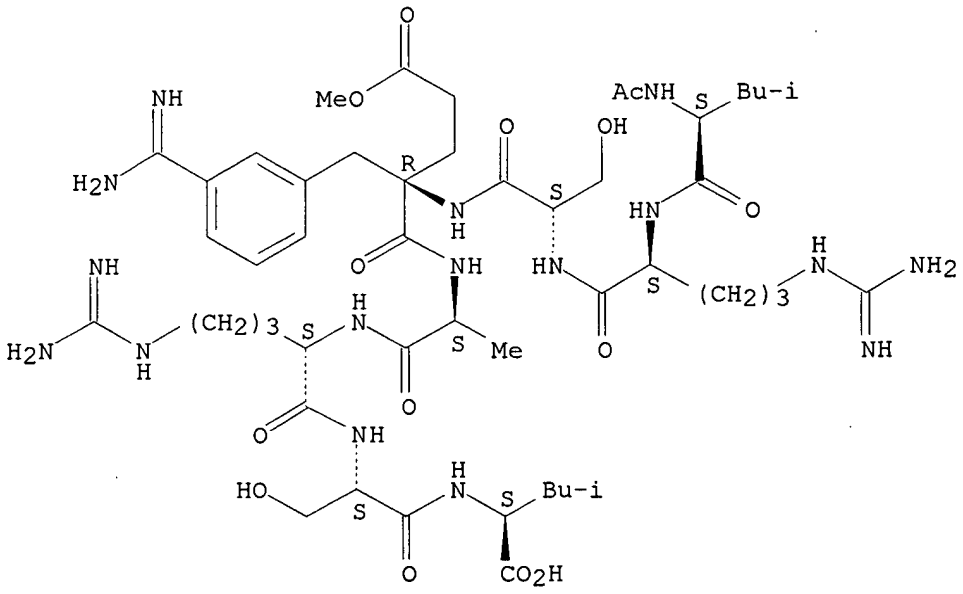
RN 476678-32-7 CAPLUS
CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 476678-33-8 CAPLUS
CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

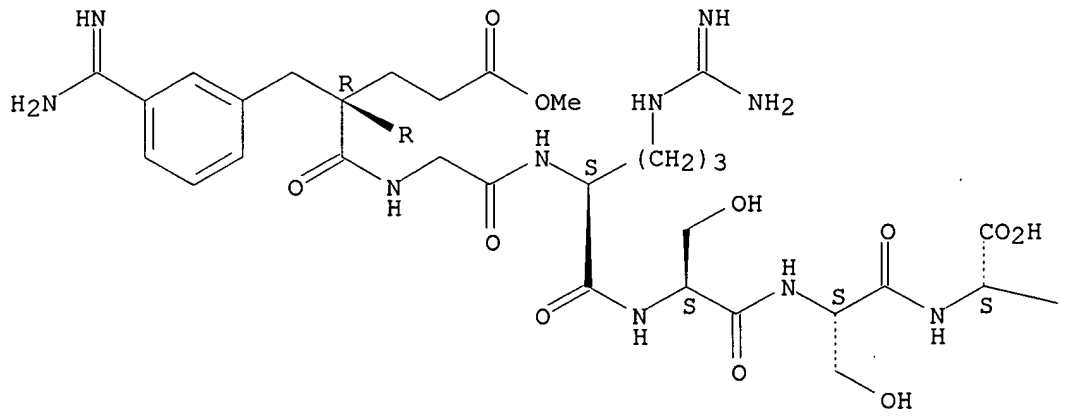
Absolute stereochemistry.



RN 476678-92-9 CAPLUS
CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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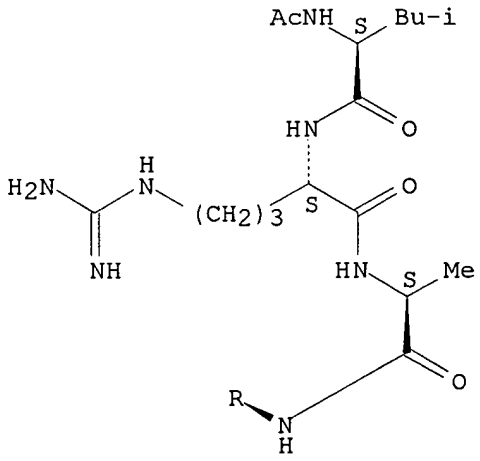
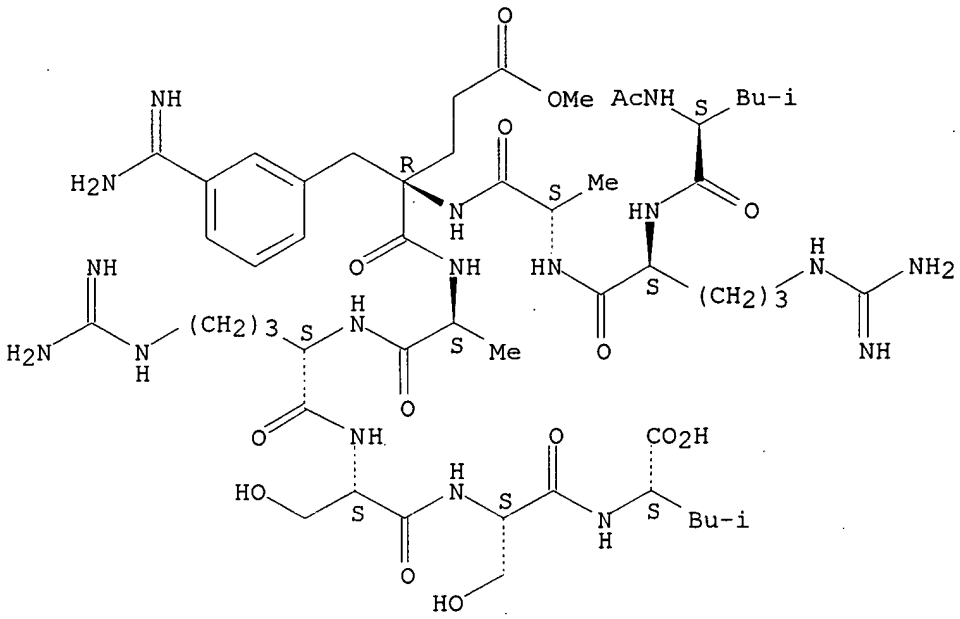
PAGE 2-A



RN 476678-93-0 CAPLUS
CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-alanyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl-L-seryl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

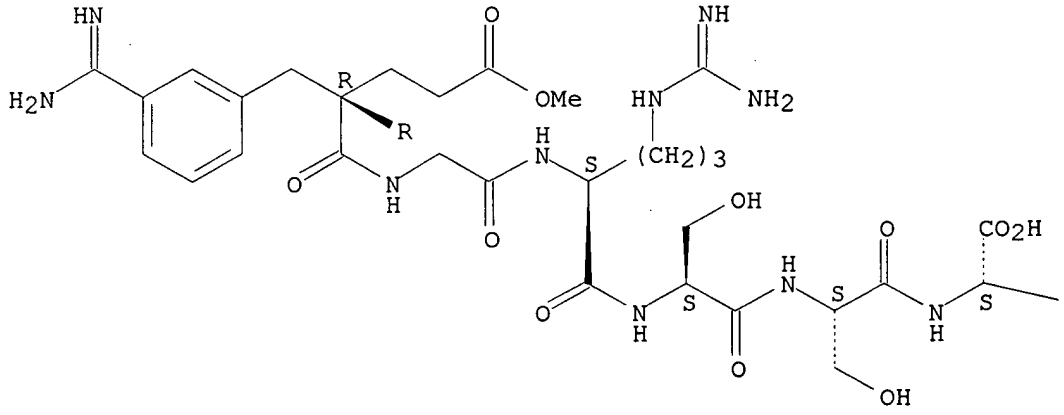
Absolute stereochemistry.



RN 476678-94-1 CAPLUS
CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

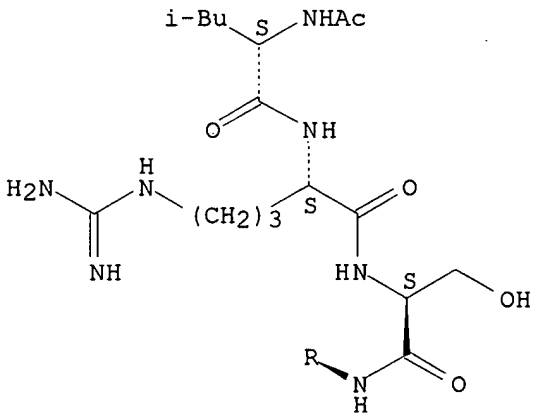
Absolute stereochemistry.

PAGE 1-A



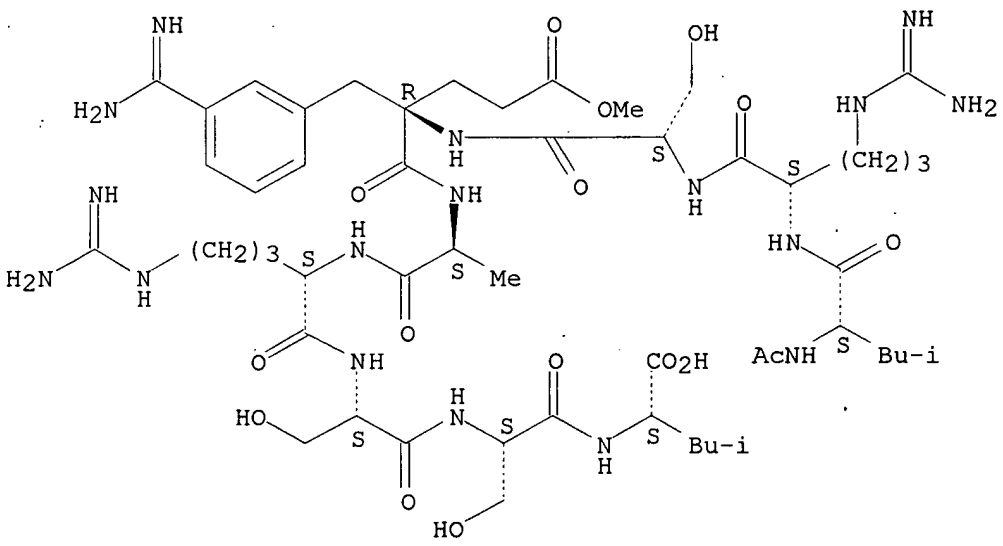
PAGE 1-B

—Bu-i



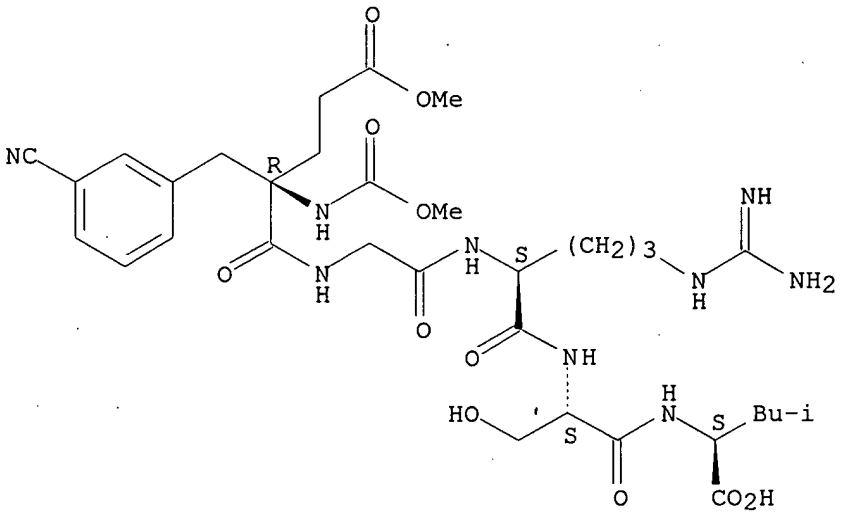
RN 476678-95-2 CAPLUS
CN L-Leucine, N-acetyl-L-leucyl-L-arginyl-L-seryl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-L-alanyl-L-arginyl-L-seryl-L-seryl-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



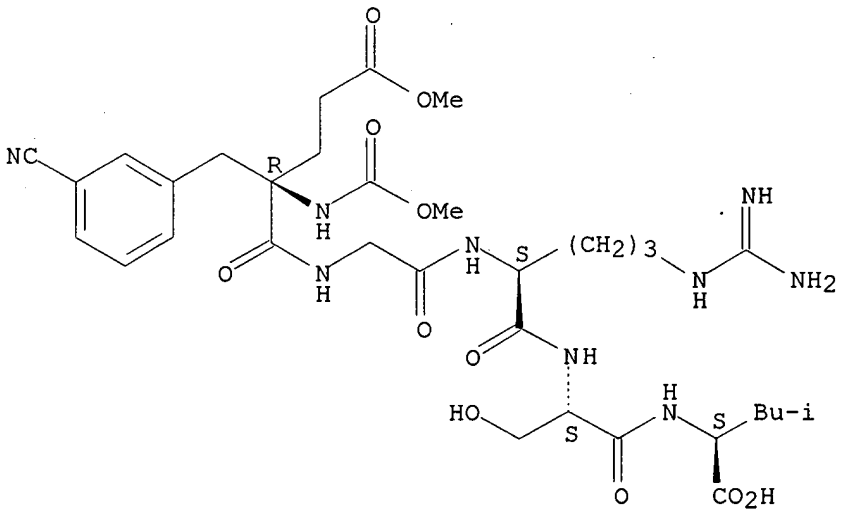
RN 476681-34-2 CAPLUS
CN L-Leucine, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



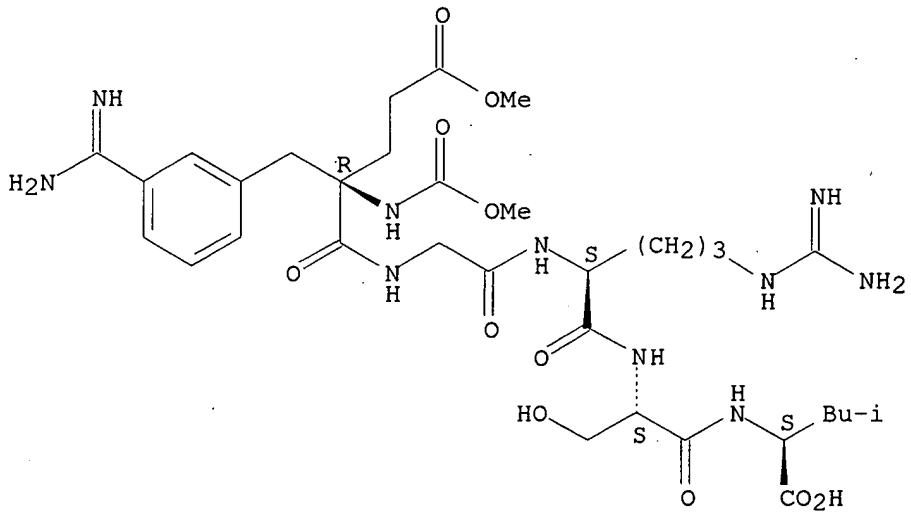
RN 476681-34-2 CAPLUS
CN L-Leucine, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



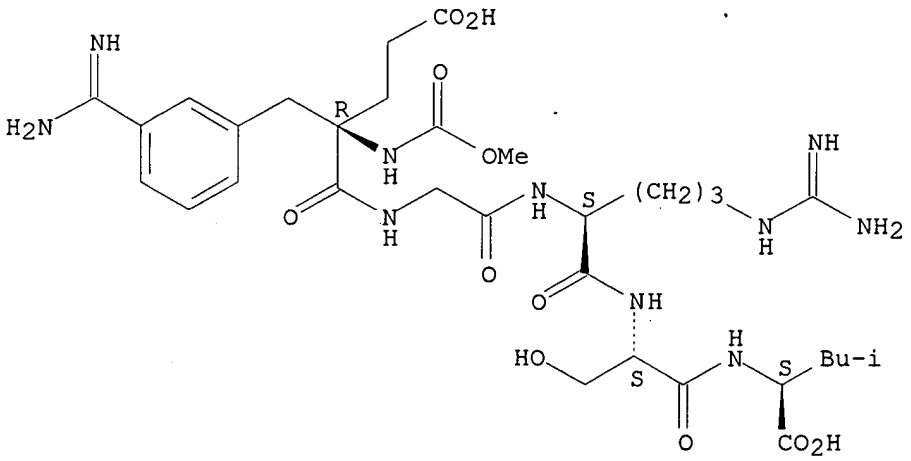
RN 476681-35-3 CAPLUS
CN L-Leucine, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



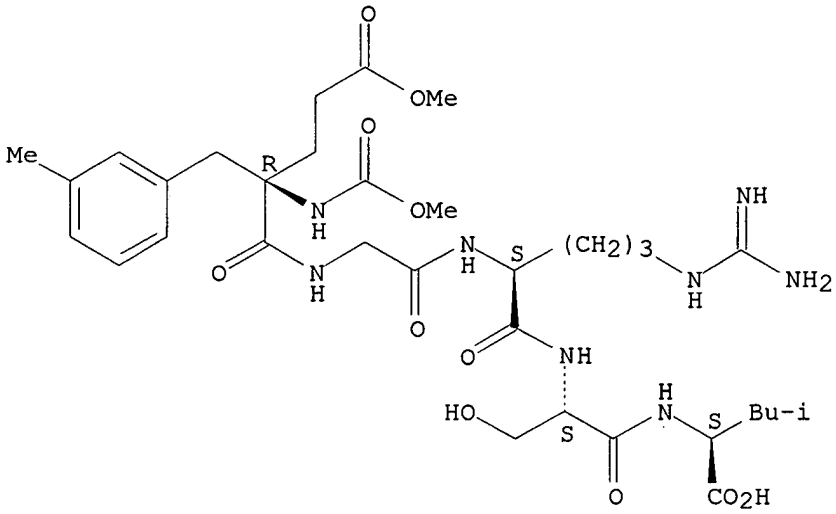
RN 476681-36-4 CAPLUS
CN L-Leucine, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



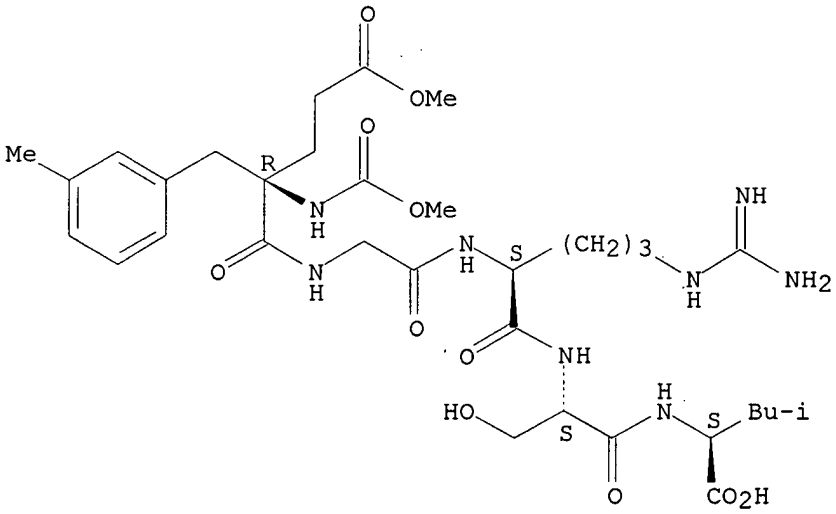
RN 476681-37-5 CAPLUS
CN L-Leucine, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



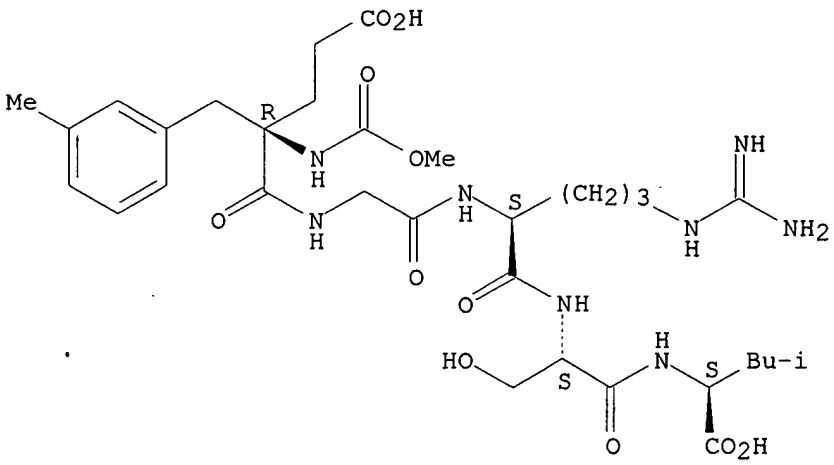
RN 476681-37-5 CAPLUS
CN L-Leucine, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



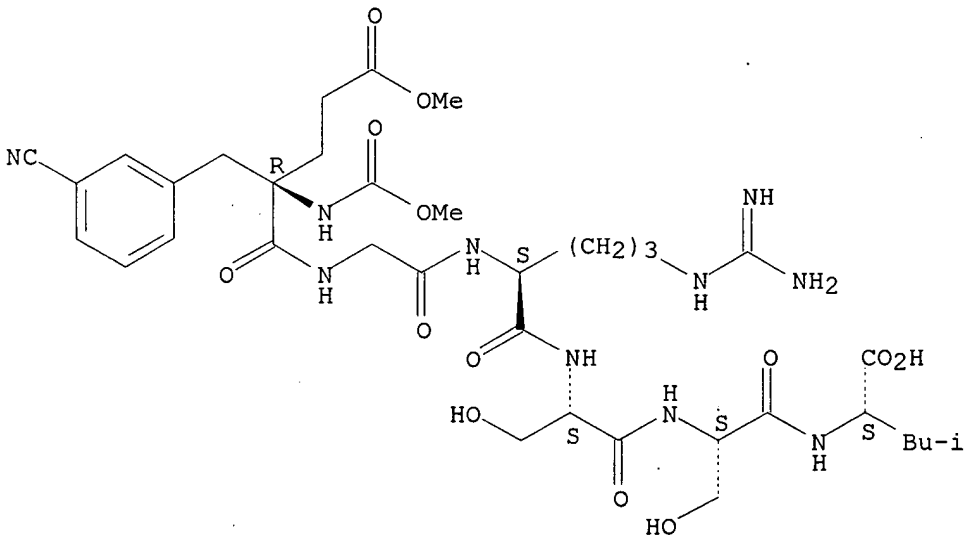
RN 476681-38-6 CAPLUS
CN L-Leucine, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamylglycyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



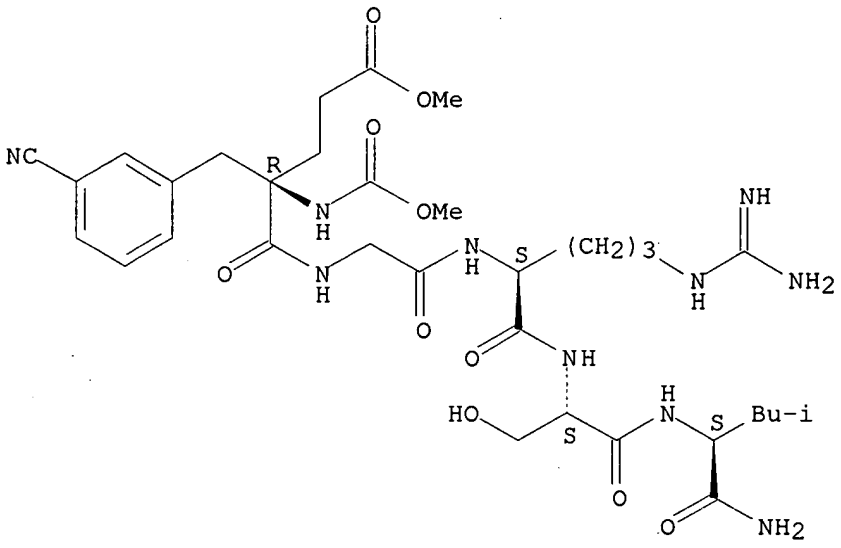
RN 476681-39-7 CAPLUS
CN L-Leucine, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-L-seryl-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



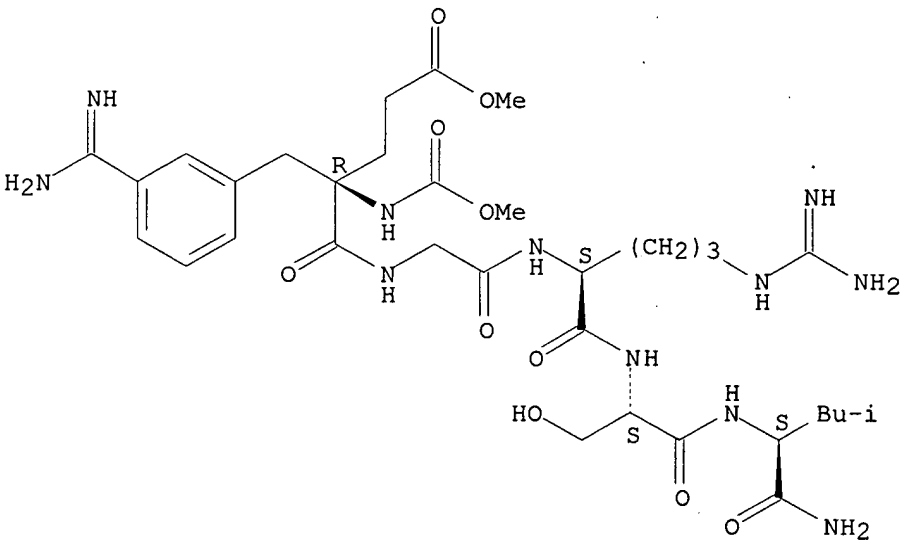
RN 476682-33-4 CAPLUS
CN L-Leucinamide, 2-[(3-cyanophenyl)methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



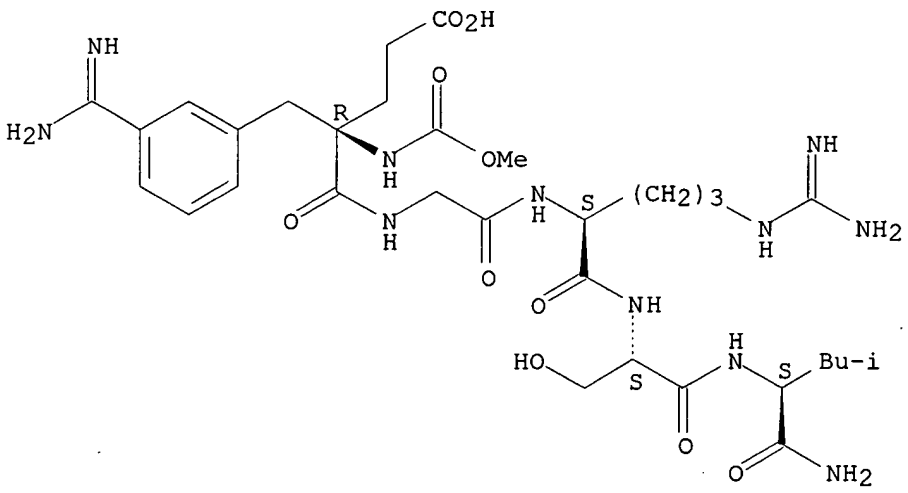
RN 476682-34-5 CAPLUS
CN L-Leucinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 476682-35-6 CAPLUS
CN L-Leucinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L-α-glutamylglycyl-L-arginyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:185072 CAPLUS
DN 136:232549
TI Preparation of peptides as inhibitors of serine protease activity of matriptase or MTSP1
IN Duncan, David F.; Madison, Edwin L.; Semple, Joseph Edward; Coombs, Gary Samuel; Reiner, John Eugene; Ong, Edgar O.; Araldi, Gian Luca
PA Corvas International, Inc., USA
SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002020475	A2	20020314	WO 2001-US28137	20010907
	WO 2002020475	A3	20030814		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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	US 6797504	B1	20040928	US 2000-657986	20000908
	CA 2422157	AA	20020314	CA 2001-2422157	20010907
	AU 2001088922	A5	20020322	AU 2001-88922	20010907
	EP 1353902	A2	20031022	EP 2001-968692	20010907
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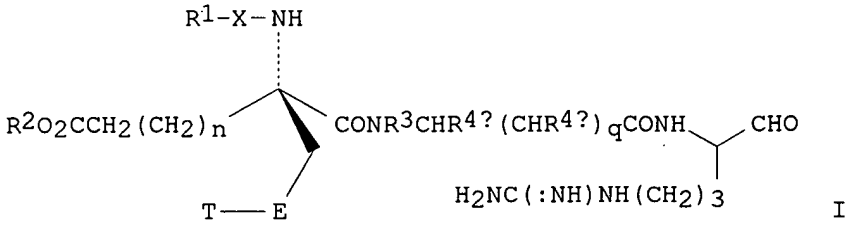
	JP 2004509085	T2	20040325	JP 2002-525098	20010907
	US 2003050251	A1	20030313	US 2002-92004	20020305
PRAI	US 2000-657986	A	20000908		
	WO 2001-US28137	W	20010907		

OS MARPAT 136:232549
GI



I

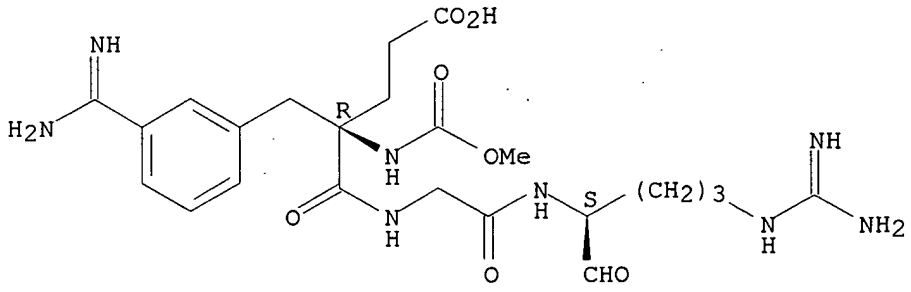
AB The invention provides compds. I [X = CO, CO2, CONH, SO2, SO2NH or a direct link; R1 = (un)substituted alkyl, cycloalkyl, aryl, heterocycloalkyl, H when X is CONH, SO2, SO2NH or a direct link, etc.; R2 = H, alkyl; n = 0-3; R3 = H, Me; R4a, R4b = H, alkyl; q = 0-2; when q = 0, R3 and R4a form prolyl or prolyl derivs., pipecolyl, or azetidine-2-carbonyl groups which are in the S-configuration; E is a 5- or 6-membered aromatic ring having 0-2 ring heteroatoms; T is H, OH, CH2OH, alkyl, cyano, an amidino, guanidino, amino or carbamoyl derivative] which inhibit serine protease activity of matriptase or MTSP1. Also provided are pharmaceutical compns. for treating conditions ameliorated by inhibition of matriptase or MTSP1. Thus, (R)-5-[3-(diaminomethyl)phenyl]-4-[(1-formyl-(S)-4-guanidinobutylcarbamoylmethyl)carbamoyl]-4-(methoxycarbonylamino)pentanoic acid tert-Bu ester was prepared and showed IC50 < 100 nM for inhibition of matriptase activity.

IT **403669-10-3P 403669-11-4P 403669-12-5P**
403669-13-6P 403669-14-7P 403669-15-8P
403669-16-9P 403669-17-0P 403669-18-1P
403669-20-5P 403669-21-6P 403669-22-7P
403669-27-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as inhibitors of serine protease activity of matriptase or MTSP1)

RN 403669-10-3 CAPLUS

CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

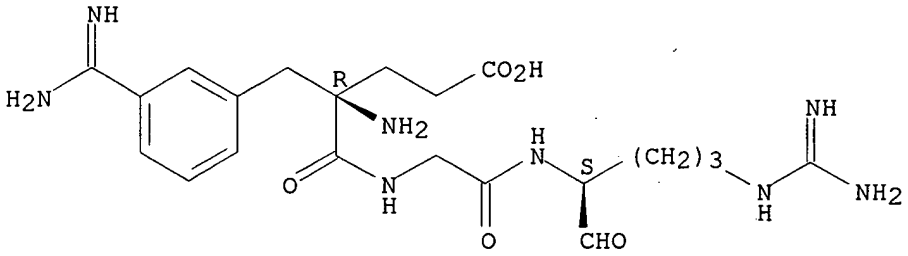
Absolute stereochemistry.



RN 403669-11-4 CAPLUS

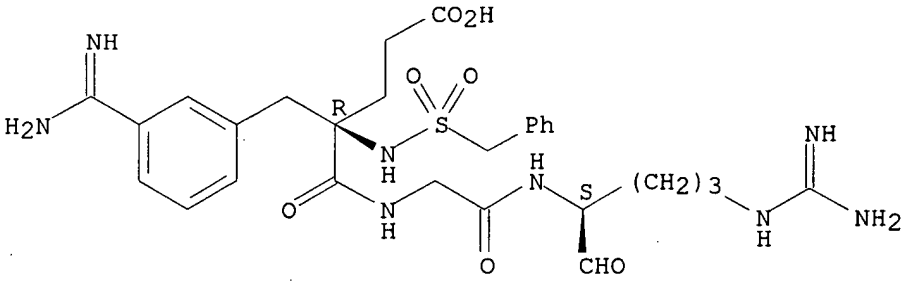
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



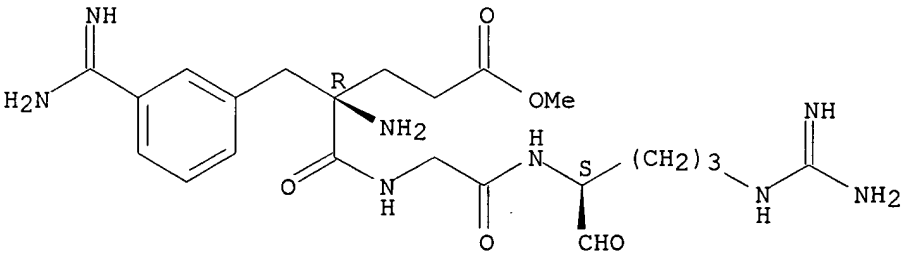
RN 403669-12-5 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(phenylmethyl)sulfonyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



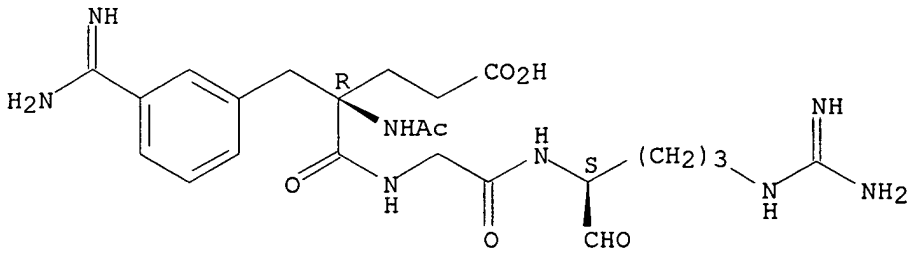
RN 403669-13-6 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



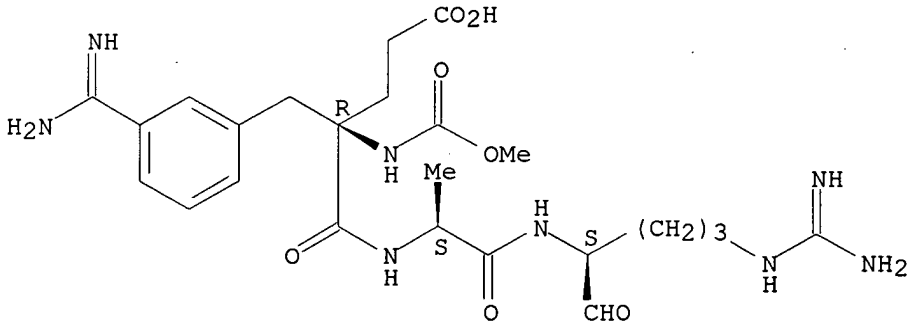
RN 403669-14-7 CAPLUS
CN Glycinamide, N-acetyl-2-[[3-(aminoiminomethyl)phenyl]methyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



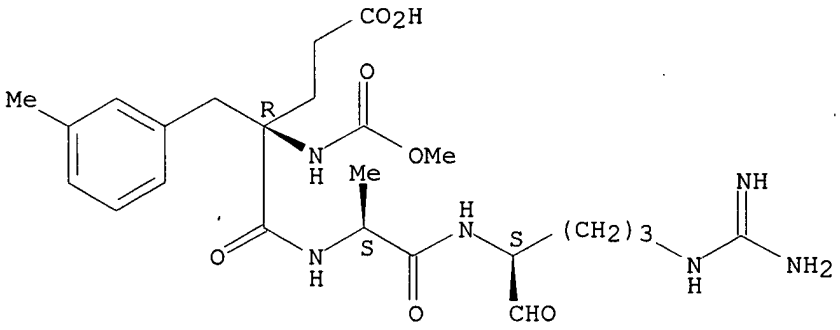
RN 403669-15-8 CAPLUS
CN L-Alaninamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(methoxycarbonyl)-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



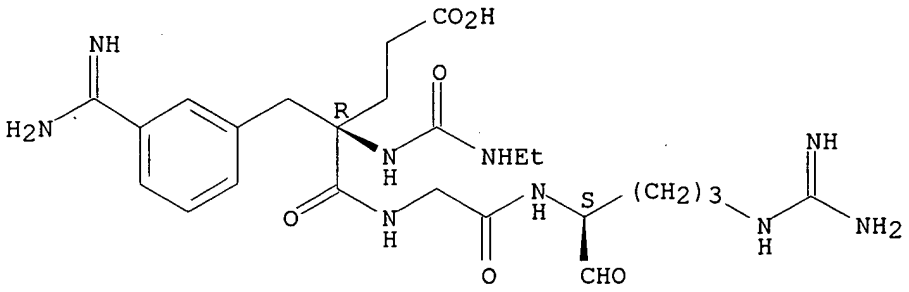
RN 403669-16-9 CAPLUS
CN L-Alaninamide, N-(methoxycarbonyl)-2-[(3-methylphenyl)methyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



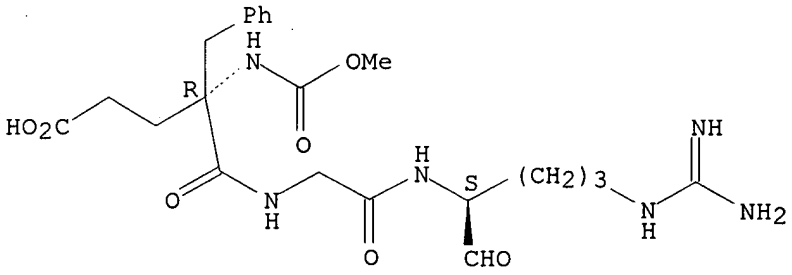
RN 403669-17-0 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(ethylamino)carbonyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



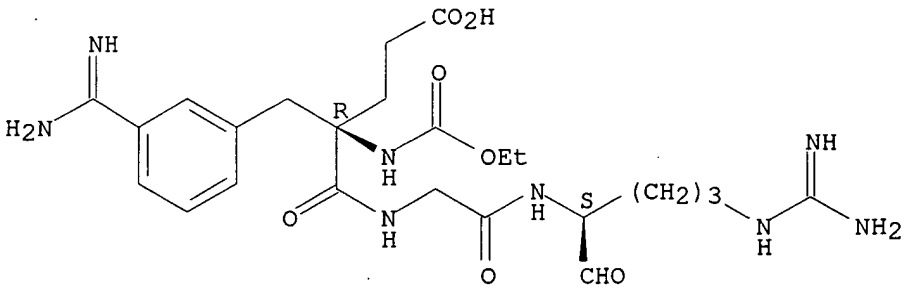
RN 403669-18-1 CAPLUS
CN Glycinamide, N-(methoxycarbonyl)-2-(phenylmethyl)-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



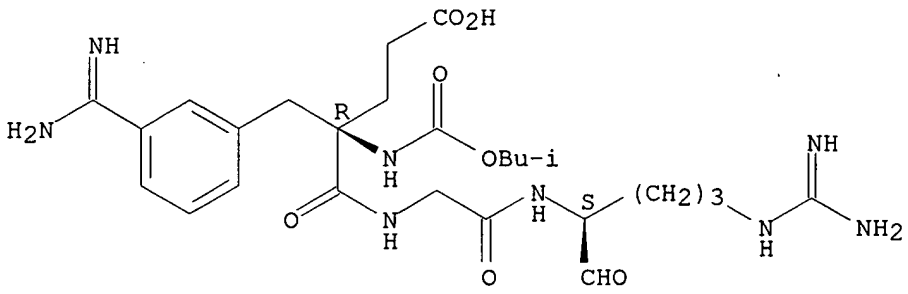
RN 403669-20-5 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(ethoxycarbonyl)-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



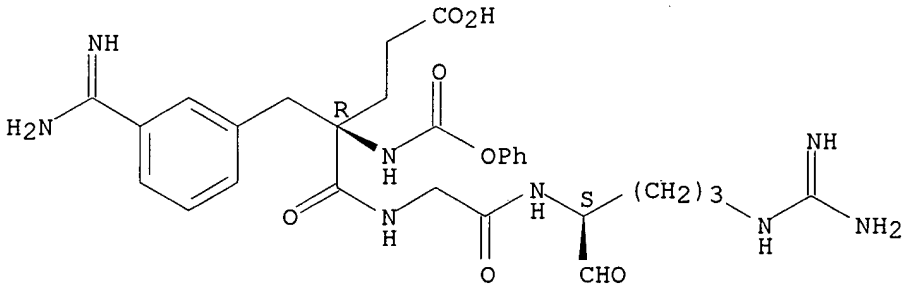
RN 403669-21-6 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(2-methylpropoxy)carbonyl]-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



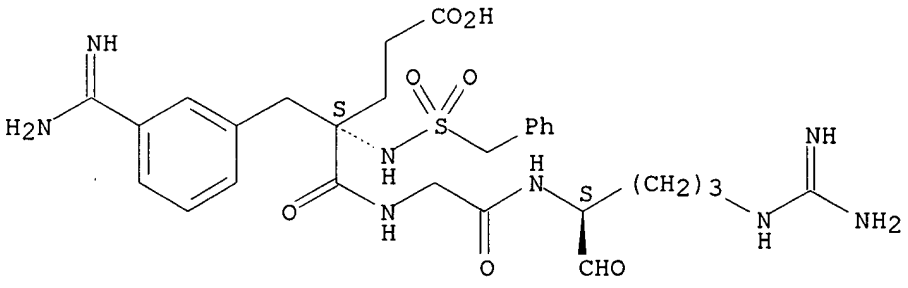
RN 403669-22-7 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-(phenoxycarbonyl)-L-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 403669-27-2 CAPLUS
CN Glycinamide, 2-[[3-(aminoiminomethyl)phenyl]methyl]-N-[(phenylmethyl)sulfonyl]-D-α-glutamyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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